

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
6 May 2005 (06.05.2005)

PCT

(10) International Publication Number  
**WO 2005/040137 A1**

(51) International Patent Classification<sup>7</sup>: **C07D 241/20**,  
409/14, 401/12, 409/12, 401/14, A61K 31/497, A61P  
7/02, C07D 403/12, 401/14, 413/14

69123 Wieblingen (DE). **METZ, Günther** [DE/DE];  
Konrad-Adenauer Strasse 30, 69221 Dossenheim (DE).

(21) International Application Number:  
PCT/EP2004/011409

(74) Agent: **DIETEL, Anja**; Grünecker Kinkeldey Stockmair  
& Schwanhäusser, Maximilianstrasse 58, 80538 München  
(DE).

(22) International Filing Date: 12 October 2004 (12.10.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
03024255.6 22 October 2003 (22.10.2003) EP

(71) Applicant (for all designated States except US): **GRAF-  
FINITY PHARMACEUTICALS AG** [DE/DE]; Im  
Neuenheimer Feld 518-519, 69120 Heidelberg (DE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **FEURER, Achim**  
[DE/DE]; Erlbrunnenweg 5, 69259 Wilhelmsfeld (DE).  
**BOSIO, Sara** [IT/DE]; Seehofstrasse 50, 64653 Lorsch  
(DE). **BULAT, Stephan** [DE/DE]; Kleingemünderstrasse  
72/II, 69118 Ziegelhausen (DE). **CEREZO-GALVEZ,**  
**Silvia** [ES/DE]; Am Fürstenweiler 14, 69118 Heidelberg  
(DE). **MATASSA, Victor, Giulio** [GB/DE]; Im Bram-  
busch 20, 69493 Hirschberg (DE). **OTT, Inge** [DE/DE];  
Karlsruhestrasse 131, 68775 Ketsch (DE). **PAPADOPOU-**  
**LOS, Michael, Arthur** [DE/DE]; Scharzwaldstrasse  
27, 69124 Heidelberg (DE). **ROSENBAUM, Claudia**  
[DE/DE]; Luxemburgerstrasse 326, 50354 Hürth (DE).  
**SCHAMBERGER, Jens** [DE/DE]; Karolingerweg 1,

(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,  
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
ZW.

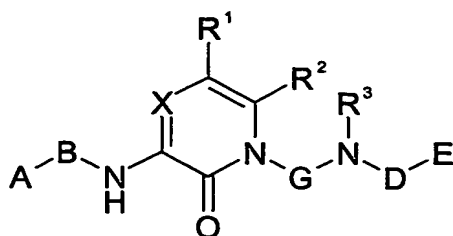
(84) Designated States (unless otherwise indicated, for every  
kind of regional protection available): ARIPO (BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,  
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,  
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- with amended claims

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: AMINOALKYL-PYRAZINONES AND -PYRIDONES AS THROMBIN INHIBITORS



(57) Abstract: The invention relates to compounds of formula (1) wherein  
A, B, X, R<sup>1</sup>, R<sup>2</sup>, G, R<sup>3</sup>, D and E have the meaning as cited in the description  
and the claims. Said compounds are useful as coagulants. The invention  
also relates to the production and use thereof as medicament.